7/15/04

L4 STRUCTURE UPLOADED

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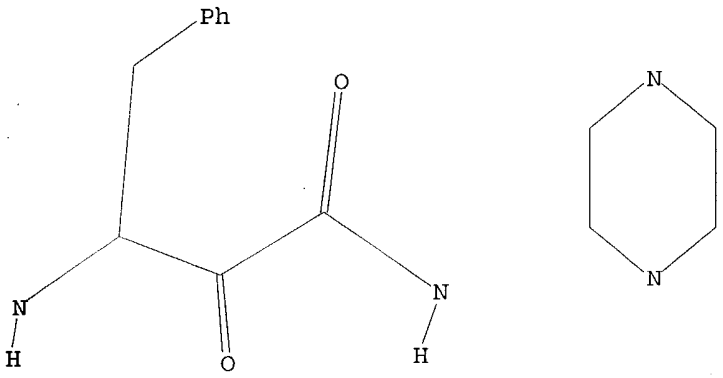
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4 ful
FULL SEARCH INITIATED 17:05:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 282 TO ITERATE

100.0% PROCESSED 282 ITERATIONS 36 ANSWERS
SEARCH TIME: 00.00.01

L5 36 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	317.83

FILE 'CAPLUS' ENTERED AT 17:05:54 ON 21 JUL 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 21 Jul 2004 VOL 141 ISS 4
FILE LAST UPDATED: 20 Jul 2004 (20040720/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

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L6            8 L5

=> d abs bib hitstr 1-8

L6   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2004 ACS on STN
GI
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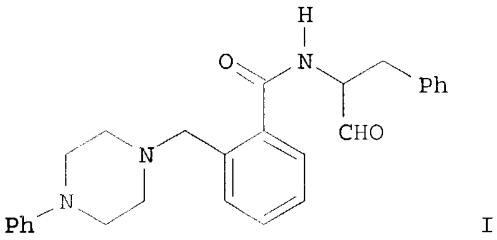


I

AB R1Z1[Z2(Z3R3)]CONHCHR4COR5 [R1 = H, alkyl, (hetero)aryl; R3 = (di)[(phenyl)alkyl]amino, pyrrolidino, piperidino, etc.; R4 = [(hetero)aryl]alkyl; R5 = H, CO2R11, COR; R = (un)substituted pyrrolidino, -piperidino, -piperazino; R11 = H, (phenyl)alkyl, etc.; Z1 = bond, alkylene, O, CO, etc.; Z2 = (un)substituted phenylene, -pyridinediyl, -imidazolediyl, etc.; Z3 = (CH2)1-3] were prepared as cysteine protease inhibitors (no data). Thus, 2-(ClH2C)C6H4CO2Me was aminated by 1-phenylpiperazine and the saponified product amidated by PhCH2CH(NH2)CH2OH to give, after oxidation, title compound I.

AN 1999:691092 CAPLUS
DN 131:299287
TI Preparation of N-(acylalkyl)benzamides as cysteine protease inhibitors
IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9954320	A1	19991028	WO 1999-EP2620	19990419
	W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2328720	AA	19991028	CA 1999-2328720	19990419
	AU 9938187	A1	19991108	AU 1999-38187	19990419
	BR 9909819	A	20001219	BR 1999-9819	19990419
	EP 1080083	A1	20010307	EP 1999-920705	19990419
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	TR 200003071	T2	20010420	TR 2000-20000307	19990419
	JP 2002512240	T2	20020423	JP 2000-544659	19990419

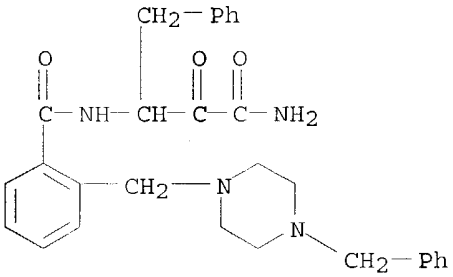
	NO 2000005261	A	20001019	NO 2000-5261	20001019
	BG 104885	A	20010531	BG 2000-104885	20001024
	HR 2000000788	A1	20010630	HR 2000-788	20001117
	ZA 2000006714	A	20011119	ZA 2000-6714	20001117
PRAI	DE 1998-19817460	A	19980420		
	WO 1999-EP2620	W	19990419		

OS MARPAT 131:299287

IT **247061-67-2P 247061-68-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(acylalkyl)benzamides as cysteine protease inhibitors)

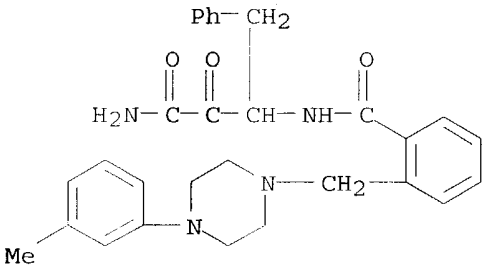
RN 247061-67-2 CAPLUS

CN Benzenebutanamide, α-oxo-β-[[2-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 247061-68-3 CAPLUS

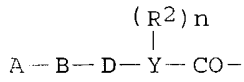
CN Benzenebutanamide, β-[[2-[[4-(3-methylphenyl)-1-piperazinyl]methyl]benzoyl]amino]-α-oxo- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

GI



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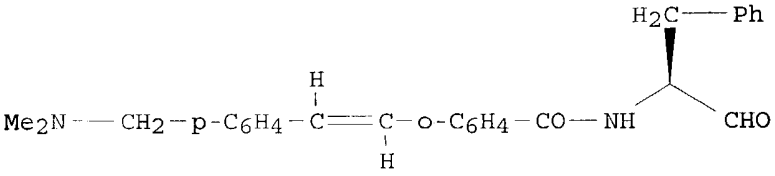


II

AB The invention relates to cysteine protease inhibitors of the general formula [(I); A = -(CH2)p-R1; R1 = pyrrolidine, morpholine, piperidine, -NR5R6, (N-substituted)piperazine; R5, R6 = independently H, alkyl, cyclohexyl, cyclopentyl, (CH2)nPh, where Ph may be R6-substituted; p = 1-2; B = (substituted) Ph, pyridyl, pyrimidyl or pyridazyl; D = bond, -(CH2)m-, -CH:CH-, -C.tplbond.C-; R2 = Cl, Br, F, alkyl, NHCO alkyl, NHSO2 alkyl, NO2, -O-alkyl or NH2; R3 = alkyl which can carry a (substituted) Ph ring, indolyl ring or cyclohexyl ring; Y = Ph, pyridine, pyrimidine or pyrazine; R4 = H, COOR9 or CO-Z, where Z = NR10R11; R9,R10,R11 = (independently) H, (unsubstituted) (unbranched) alkyl; n = 0-2 and m = 0-4]. Thus, Et 2-bromo-benzoate and dimethyl(4-vinylbenzyl)amine were reacted, de-esterified, and the free acid intermediate reacted with (S)-phenylalaninol to give an intermediate which was reduced to give aldehyde (II) in 88% yield. Title compds. showed good results as inhibitors of calpain I and II or cathepsin B in a variety of in vivo and in vitro tests (no data given).

AN 1999:691085 CAPLUS

DN 131:310835

TI Preparation of cysteine protease inhibitors for therapeutic use

IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika

PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9954310	A2	19991028	WO 1999-EP2633	19990420
	WO 9954310	A3	20000217		
	W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2328396	AA	19991028	CA 1999-2328396	19990420
	AU 9939276	A1	19991108	AU 1999-39276	19990420
	BR 9909774	A	20001219	BR 1999-9774	19990420
	EP 1073641	A2	20010207	EP 1999-922108	19990420
	EP 1073641	B1	20040414		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	TR 200003068	T2	20010321	TR 2000-20000306819990420	
	JP 2002512231	T2	20020423	JP 2000-544649	19990420
	US 6753327	B1	20040622	US 2000-673089	20001011

	BG 104873	A	20010731	BG 2000-104873	20001017
	NO 2000005263	A	20001019	NO 2000-5263	20001019
	HR 2000000787	A1	20010831	HR 2000-787	20001117
	ZA 2000006719	A	20020815	ZA 2000-6719	20001117
	US 2004082569	A1	20040429	US 2003-690400	20031020
PRAI	DE 1998-19818615	A	19980420		
	WO 1999-EP2633	W	19990420		
	US 2000-673089	A3	20001011		

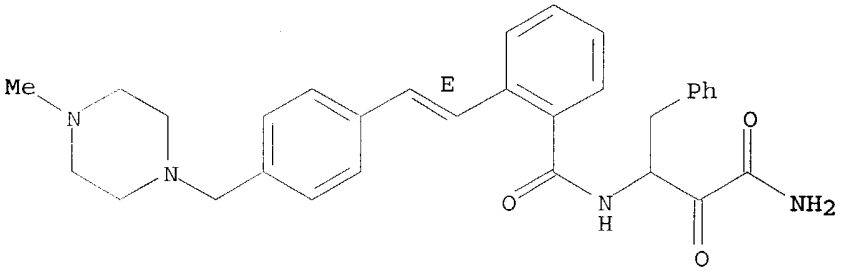
OS MARPAT 131:310835

IT **247218-37-7P 247218-41-3P 247218-42-4P**
247219-02-9P 247219-10-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of as cysteine protease inhibitors for therapeutic use)

RN 247218-37-7 CAPLUS

CN Benzenebutanamide, β-[[2-[(1E)-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]-α-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

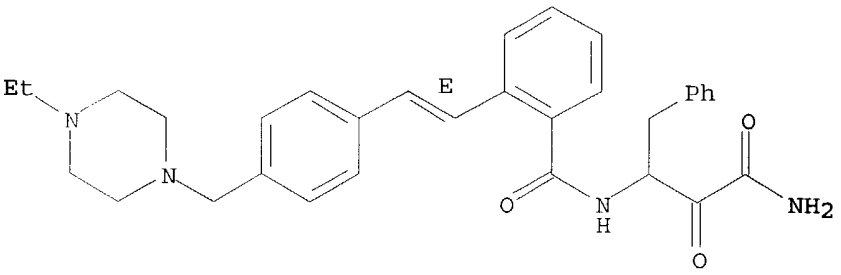


● 2 HCl

RN 247218-41-3 CAPLUS

CN Benzenebutanamide, β-[[2-[(1E)-2-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]-α-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

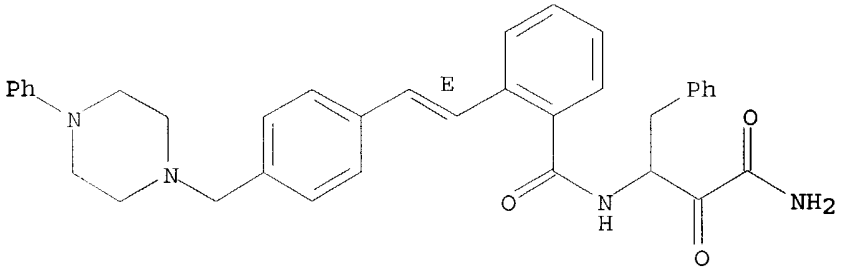


RN 247218-42-4 CAPLUS

CN Benzenebutanamide, α-oxo-β-[[2-[(1E)-2-[4-[(4-phenyl-1-

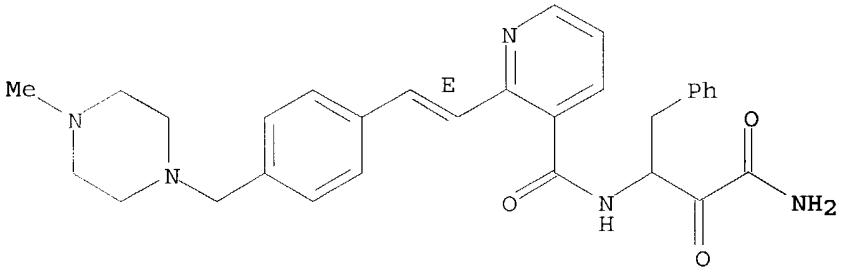
piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 247219-02-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



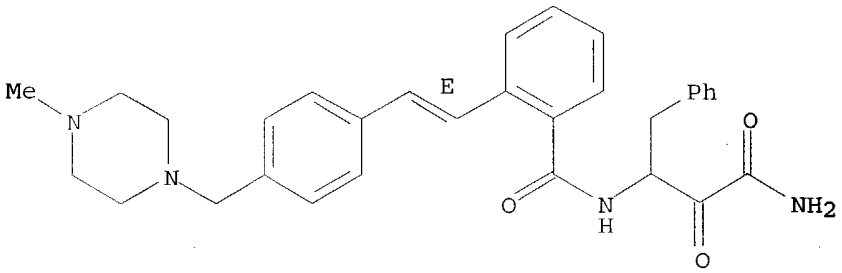
● 2 HCl

RN 247219-10-9 CAPLUS
CN Benzenebutanamide, β-[[2-[(1E)-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]-α-oxo-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

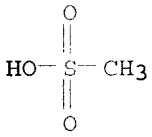
CRN 247219-09-6
CMF C31 H34 N4 O3

Double bond geometry as shown.



CM 2

CRN 75-75-2
CMF C H4 O3 S



L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AB A(CH2)xR1R2BCONHCHR3COR4 [A = (substituted) piperazinyl, homopiperazinyl, hexahydroazepinyl, piperidinyl, pyrrolidinyl; B = Ph, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl; R1, R2 = H, alkyl, alkoxy, OH, Cl, F, Br, iodo, CF3, NO2, NH2, cyano, CO2H, alkoxycarbonyl, alkylcarbonylamino, etc.; R3 = alkyl, methylthioalkyl, cyclohexylalkyl, cyclopentylalkyl, cycloheptylalkyl, phenylalkyl, pyridylalkyl, pyrimidinylalkyl, pyridazinylalkyl, indolylalkyl, etc.; R4 = H, COR8; R8 = OR9, NR9R10; R9 = H, alkyl; R10 = H, (substituted) alkyl], were prepared for treatment of neurodegenerative disease (no data). Thus, Me chloronicotinate, 4-pyridylpiperazine, and 18-crown-6 were heated at 100° in DMF to give 82% Me 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinate. The latter was saponified with LiOH in THF/H2O and the acid was stirred with Et3N and Na2SO4 in CH2Cl2/DMF; phenylalanino, HOBT, and EDC were added at 0° followed by stirring overnight at room temperature to give 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinic acid-N-(3-phenylpropan-1-ol-2-yl)amide. This was stirred with SO3.pyridine and Et3N in Me2SO to give 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinic acid-N-(3-phenylpropan-1-al-2-yl)amide.
AN 1999:691081 CAPLUS
DN 131:299460
TI Preparation of piperazinylnicotinamides and related compounds as calpain and cathepsin inhibitors.
IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9954305 A1 19991028 WO 1999-EP2632 19990420
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
CA 2328440 AA 19991028 CA 1999-2328440 19990420
AU 9938190 A1 19991108 AU 1999-38190 19990420
BR 9909773 A 20001219 BR 1999-9773 19990420
TR 200003004 T2 20010221 TR 2000-20000300419990420
EP 1082308 A1 20010314 EP 1999-920710 19990420
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JP 2002512229 T2 20020423 JP 2000-544646 19990420
US 6562827 B1 20030513 US 2000-647681 20001003
NO 2000005237 A 20001018 NO 2000-5237 20001018
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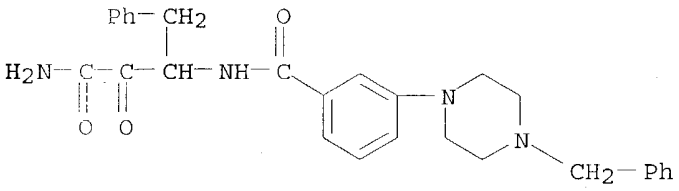
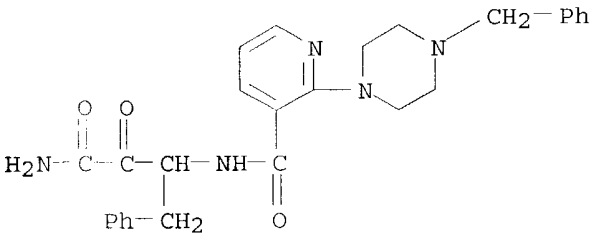
BG 104961 A 20010531 BG 2000-104961 20001117
ZA 2000006712 A 20020923 ZA 2000-6712 20001117
PRAI DE 1998-19817462 A 19980420
WO 1999-EP2632 W 19990420
OS MARPAT 131:299460
IT **247117-08-4P 247117-15-3P 247117-16-4P**
247117-19-7P 247117-20-0P 247117-21-1P
247117-22-2P 247117-25-5P 247117-26-6P
247117-27-7P 247117-29-9P 247117-30-2P
247117-32-4P 247117-36-8P 247117-37-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylnicotinamides and related compds. as calpain and cathepsin inhibitors)
RN 247117-08-4 CAPLUS
CN Benzenebutanamide, α-oxo-β-[[3-[4-(phenylmethyl)-1-piperazinyl]benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 247117-15-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 247117-16-4 CAPLUS
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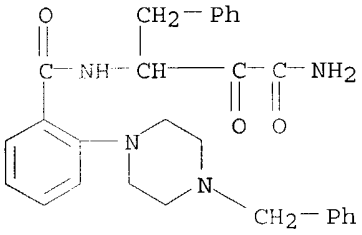
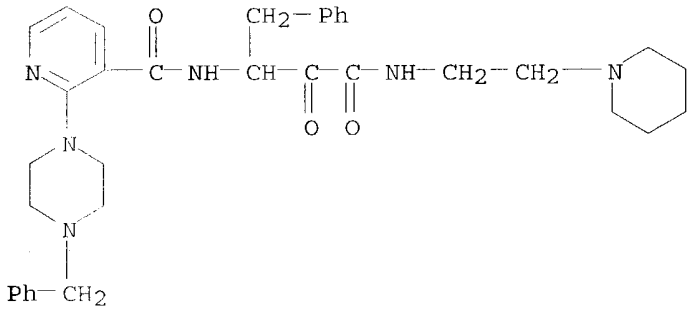
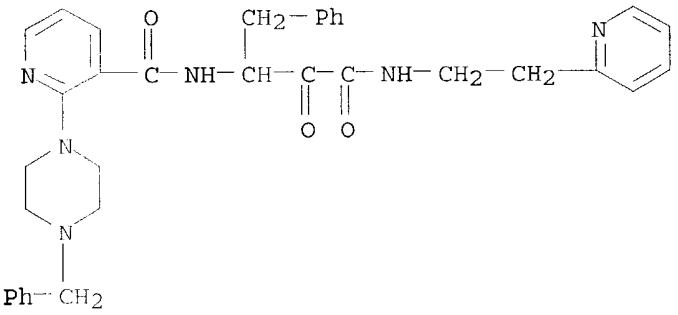


RN 247117-19-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dioxo-1-(phenylmethyl)-3-[[2-(1-piperidinyl)ethyl]amino]propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-20-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dioxo-1-(phenylmethyl)-3-[[2-(2-pyridinyl)ethyl]amino]propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



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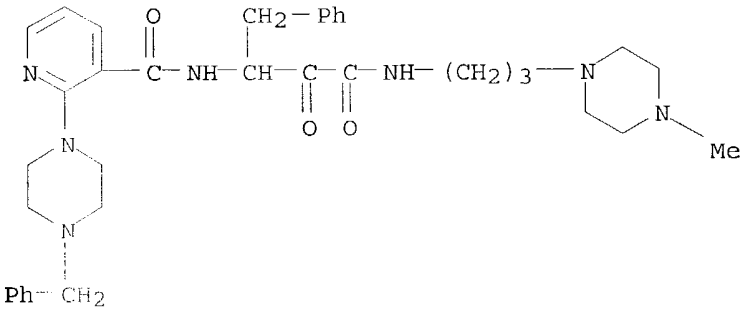
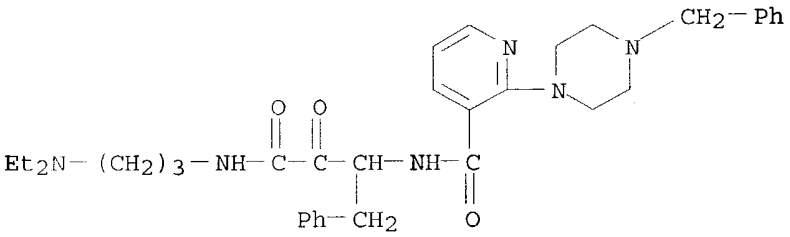


RN 247117-22-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[[3-(diethylamino)propyl]amino]-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



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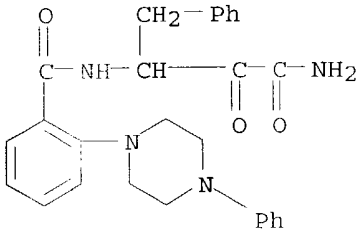
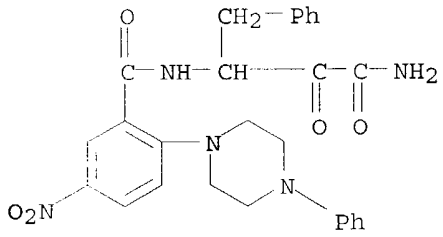


RN 247117-26-6 CAPLUS
CN Benzenebutanamide, β-[[5-nitro-2-(4-phenyl-1-piperazinyl)benzoyl]amino]-α-oxo- (9CI) **(CA INDEX NAME)**



RN 247117-27-7 CAPLUS
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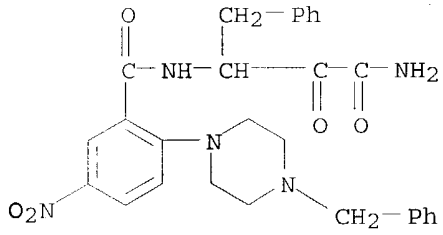
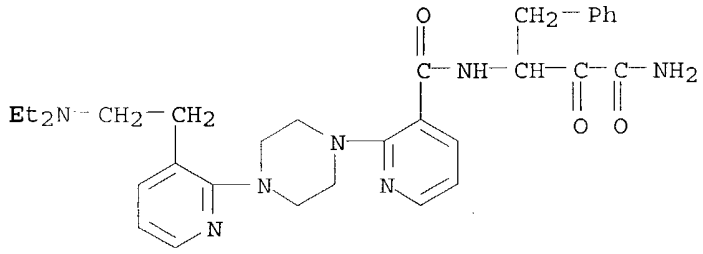
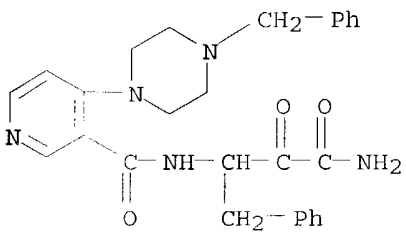


RN 247117-29-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-**(phenylmethyl)propyl]-2-[4-**[3-[2-(diethylamino)ethyl]-2-pyridinyl]-1-**piperazinyl]- (9CI)** **(CA INDEX** NAME)

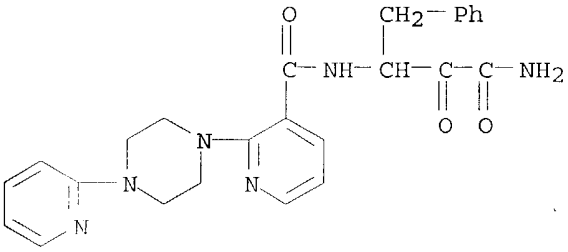


RN 247117-30-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-**(phenylmethyl)propyl]-4-[4-**

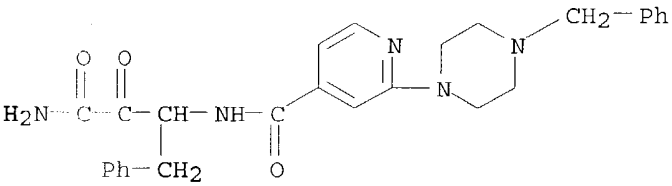
(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



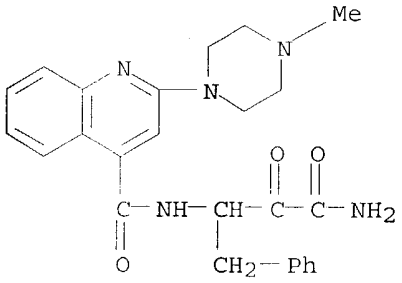
RN 247117-32-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-36-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



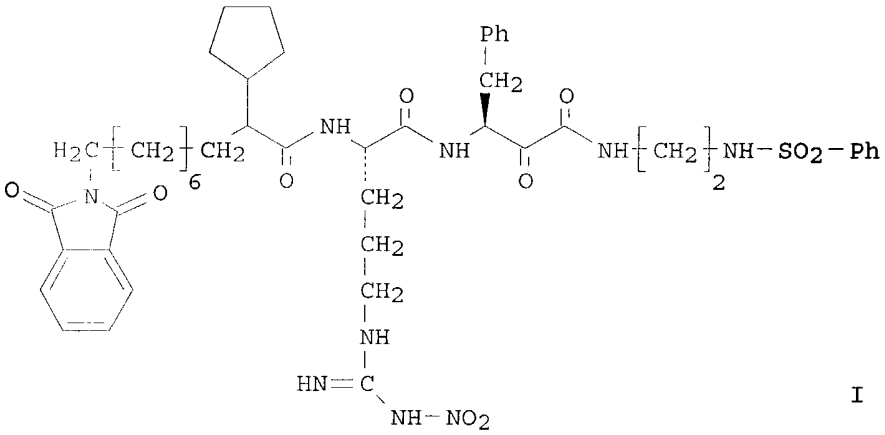
RN 247117-37-9 CAPLUS
CN 4-Quinolinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



7/15/04

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

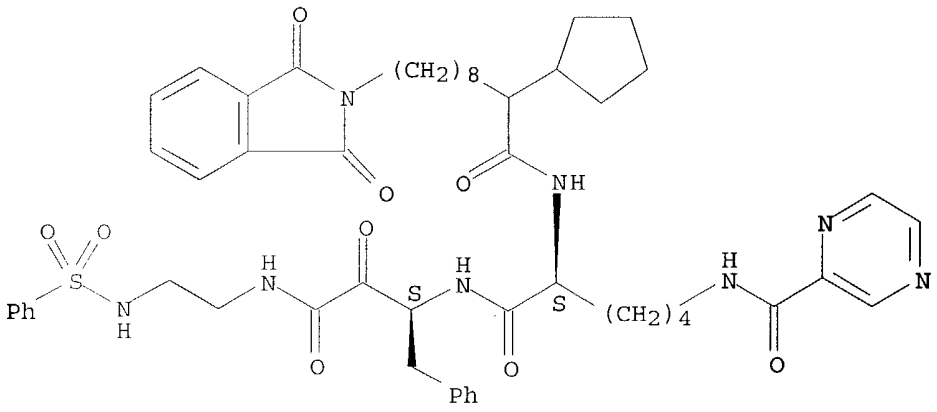
L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB A series of potent P'-extended α-ketoamide inhibitors (e.g. I) of chymotrypsin-like activity of proteasome is described.
AN 1999:614153 CAPLUS
DN 131:337341
TI P'-extended α-ketoamide inhibitors of proteasome
AU Chatterjee, Sankar; Dunn, Derek; Mallya, Satish; Ator, Mark A.
CS Department of Chemistry, Cephalon Inc., West Chester, PA, 19380-4245, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(17), 2603-2606
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
IT 222640-22-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of as P'-extended α-ketoamide inhibitors of proteasome)
RN 222640-22-4 CAPLUS
CN 2H-Isoindole-2-decanamide, α-cyclopentyl-N-[(1S)-1-[[[(1S)-2,3-dioxo-1-(phenylmethyl)-3-[[2-[(phenylsulfonyl)amino]ethyl]amino]propyl]amino]carbonyl]-5-[(pyrazinylcarbonyl)amino]pentyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AB A series of potent P2-achiral, P'-extended α-ketoamide inhibitors of calpain I is described. Structure-activity relations are discussed.

AN 1999:571288 CAPLUS
DN 131:306757

TI P2-achiral, P'-extended α-ketoamide inhibitors of calpain I

AU Chatterjee, Sankar; Dunn, Derek; Tao, Ming; Wells, Gregory; Gu, Zi-Qiang; Bihovsky, Ron; Ator, Mark A.; Siman, Robert; Mallamo, John P.
CS Cephalon Inc., West Chester, PA, 19380-4245, USA

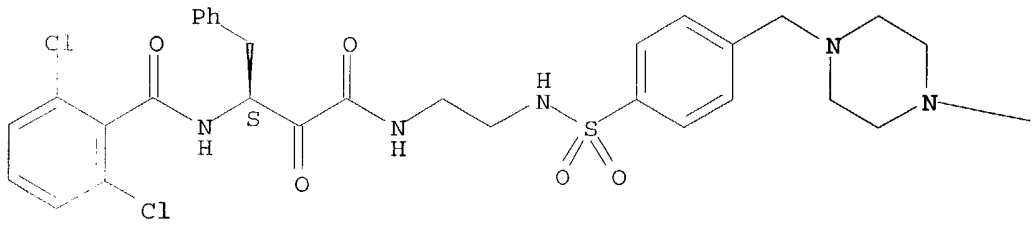
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(16), 2371-2374
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English

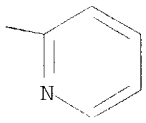
IT 223514-55-4P 223514-57-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of P2-achiral and P'-extended α-ketoamide inhibitors of calpain I in relation to structure)

RN 223514-55-4 CAPLUS
CN Benzenebutanamide, β-[(2,6-dichlorobenzoyl)amino]-α-oxo-N-[2-[[[4-[[4-(2-pyridinyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

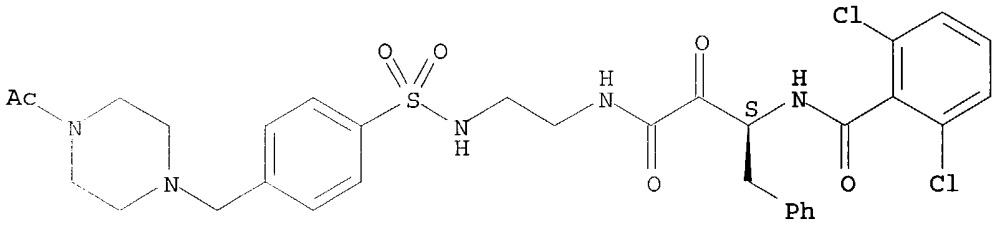
PAGE 1-A





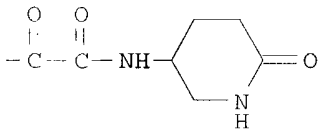
RN 223514-57-6 CAPLUS
CN Benzenebutanamide, N-[2-[[[4-[(4-acetyl-1-piperazinyl)methyl]phenyl]sulfonyl]amino]ethyl]-β-[(2,6-dichlorobenzoyl)amino]-α-oxo-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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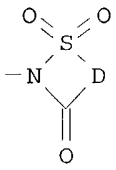

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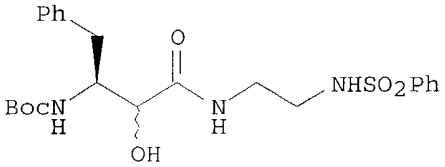

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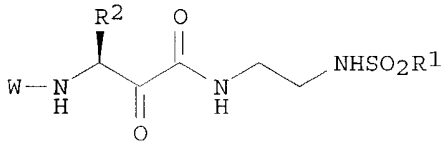

IV

AB Title compds. of formula Q-(Aaa)n-(NR3-CH(R1)-CO)q-NH-CH(R2)-Z [Q = G-B-(CHR4)v; R4 = H, C1-4 alkyl; v = 0-2; B = CO, OC(O), S(O)m, CH2, bond, NR5CO, S(O)m-A-CO, CO-A-CO; R5 = H, alkyl; m = 0-2; A = (un)substituted alkylene or cycloalkylene; G = H, a blocking group, alkenyl, (un)substituted alkyl, aryl, heterocyclyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, or arylheteroalkyl; Aaa = an amino acid optionally containing blocking groups; n = 0-3; R1 and R2 = independently H, heteroaryl, (un)substituted alkyl, arylalkyl, heteroalkyl, heteroarylalkyl, or alkoxyalkyl, (un)substituted naturally occurring amino acid side chain; R3 = H, alkyl, arylalkyl, heteroalkyl, heteroarylalkyl, alkoxyalkyl, (un)substituted naturally occurring amino acid side chain, blocking group, etc.; q = 0-1; Z = CO-CO-NH-X-A1-K or I; X = bond, O; A1 = A; K = N(R10)Y, II, SO2N(R8)(R10); D = fused aryl, or heteroaryl group; R11 = alkoxy, aryloxy, NHR12; R9, R12 = H, (un)substituted alkyl, aryl, or heteroaryl; Y = SO2R8, CONHR9, CSNHR9, C(=NCN)R11, C(=NCONHR10)R11, CO2R8; R8 = (un)substituted alkyl, alkoxy, aryl, or heterocyclyl; R10 = H, alkyl; R8 and R10 may combine with the N atom to which they are attached to form an N-containing heterocyclic ring; R9 may be combined with an A1 alkylene group to form an N-containing heterocyclic ring] or their pharmaceutically acceptable salts, were prepared as cysteine and serine protease inhibitors. Thus, III (preparation given) was oxidized by Dess-Martin periodinane, deprotected, and coupled with PhSO2-L-Pro-OH to yield compound IV (W = PhSO2-L-Pro, R2 = PhCH2, R1 = Ph) which exhibited 78% inhibition of calpain I at 10 μM. Compound IV (W = MeSO2-D-Ser(CH2Ph), R2 = CH2OMe, R1 = Ph) exhibited 100% inhibition of calpain I at 10 μM. Methods for the use of the protease inhibitors are also described.

AN 1999:249093 CAPLUS

DN 130:312099

TI Preparation of peptide-containing α-ketoamide cysteine and serine protease inhibitors

IN Chatterjee, Sankar; Mallamo, John P.; Bihovsky, Ron; Wells, Gregory J.

PA Cephalon Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	CA 2304116	AA	19990415	CA 1998-2304116	19981007
	AU 9910686	A1	19990427	AU 1999-10686	19981007
	AU 749555	B2	20020627		
	EP 1021199	A1	20000726	EP 1998-953275	19981007
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	US 6703368	B2	20040309		
	US 2004102609	A1	20040527	US 2003-685923	20031014
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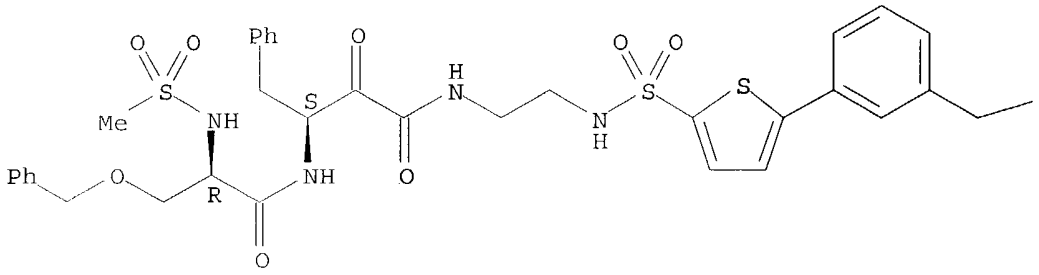
IT **223513-37-9P 223513-84-6P 223513-86-8P**
223513-94-8P 223514-10-1P 223514-24-7P
223514-25-8P 223514-55-4P 223514-57-6P
223527-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide-containing α-ketoamide cysteine and serine protease inhibitors)
RN 223513-37-9 CAPLUS
CN Benzenebutanamide, N-[2-[[[5-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-2-thienyl]sulfonyl]amino]ethyl]-β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

priority

PAGE 1-A



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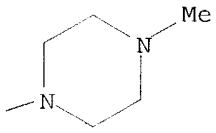
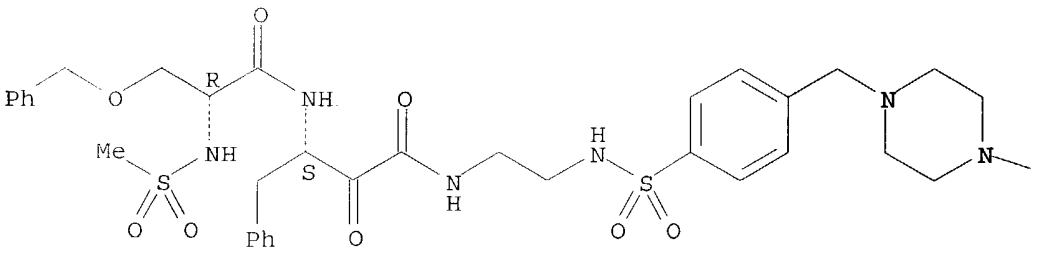


RN 223513-84-6 CAPLUS
CN Benzenebutanamide, β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-N-[2-[[[4-[[4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



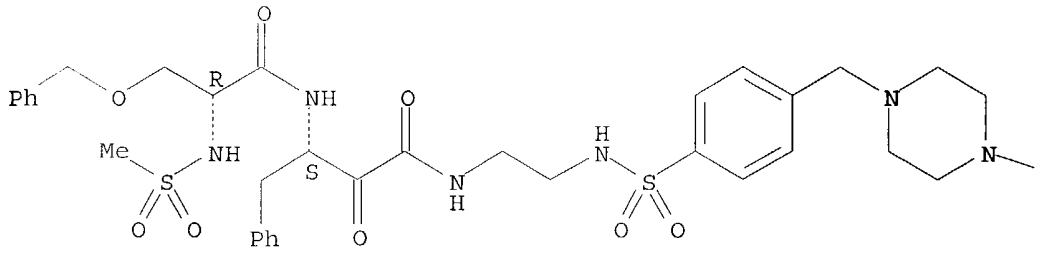
PAGE 1-B

RN 223513-86-8 CAPLUS
CN Benzenebutanamide, N-[2-[[[4-[(4-acetyl-1-piperazinyl)methyl]phenyl]sulfonyl]amino]ethyl]-β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-, (βS)- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

PAGE 1-A



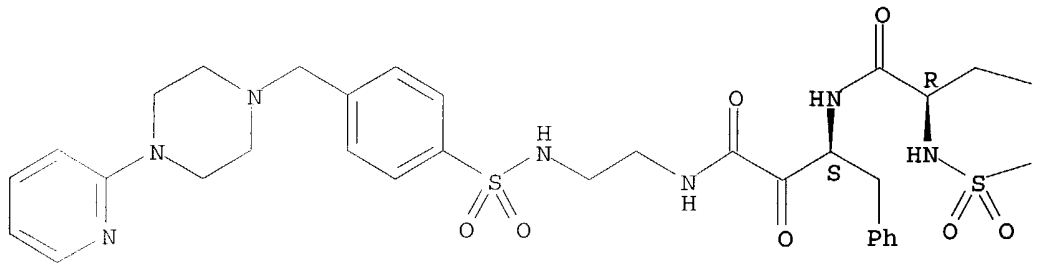
PAGE 1-B

Ac

RN 223513-94-8 CAPLUS
CN Benzenebutanamide, β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-N-[2-[[[4-[[4-(2-pyridinyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

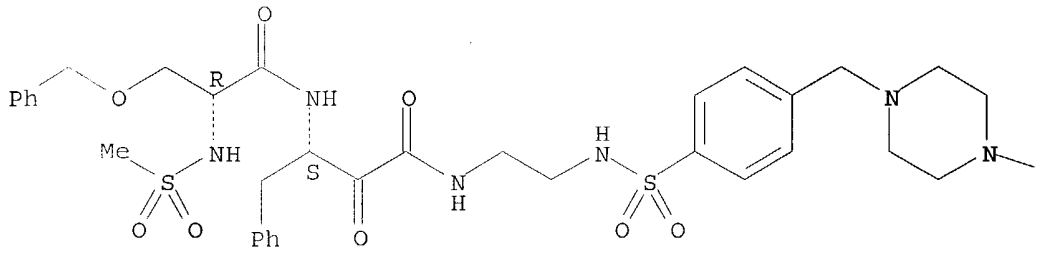
Ph

Me

RN 223514-10-1 CAPLUS
CN Benzenebutanamide, N-[2-[[[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]sulfonyl]amino]ethyl]-β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

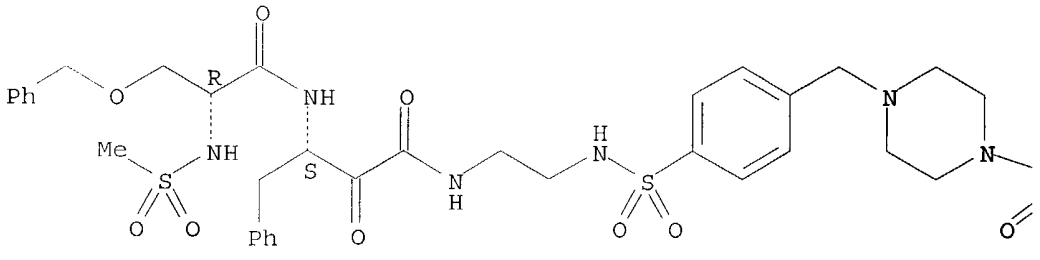


PAGE 1-B

RN 223514-24-7 CAPLUS
CN Benzenebutanamide, β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-N-[2-[[[4-[[4-(methylsulfonyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-α-oxo-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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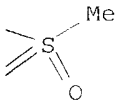
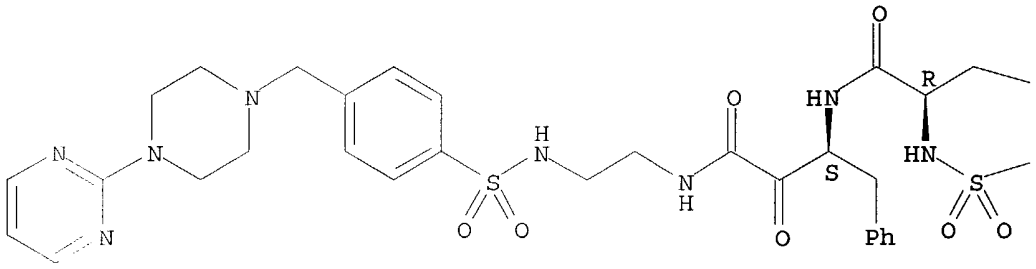


RN 223514-25-8 CAPLUS
CN Benzenebutanamide, β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-N-[2-[[[4-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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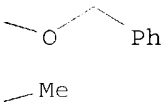
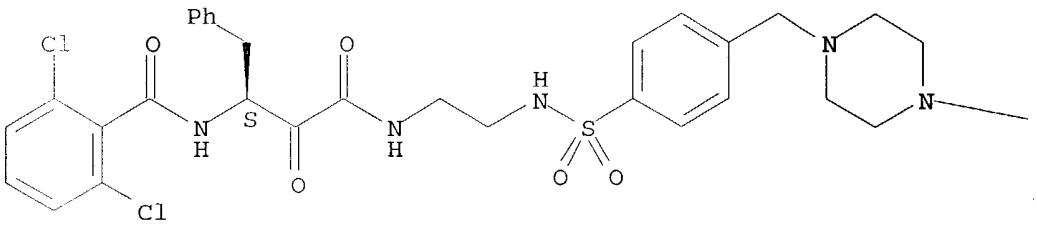


RN 223514-55-4 CAPLUS
CN Benzenebutanamide, β-[(2,6-dichlorobenzoyl)amino]-α-oxo-N-[2-[[[4-[[4-(2-pyridinyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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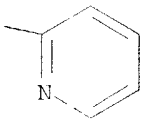
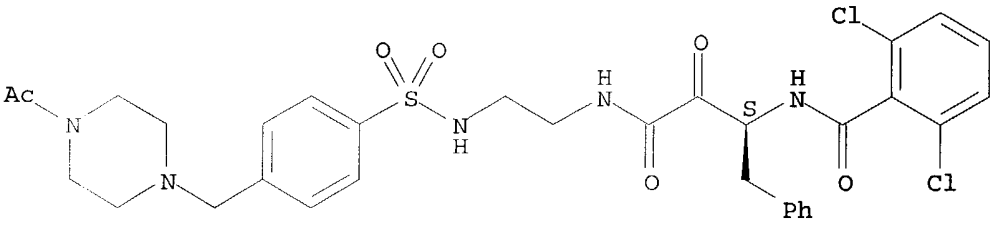


RN 223514-57-6 CAPLUS
CN Benzenebutanamide, N-[2-[[[4-[(4-acetyl-1-piperazinyl)methyl]phenyl]sulfonyl]amino]ethyl]-β-[(2,6-dichlorobenzoyl)amino]-α-oxo-, (βS)- (9CI) (CA INDEX NAME)

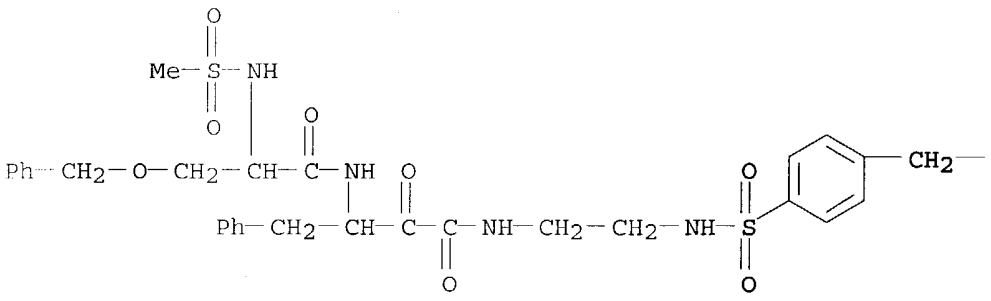
Absolute stereochemistry.



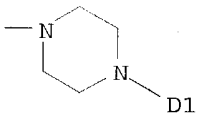
RN 223527-39-7 CAPLUS
CN Benzenebutanamide, N-[2-[[[4-[[4-(acetylphenyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-, (βS)- (9CI) (CA INDEX NAME)

PAGE 1-A

D1—Ac

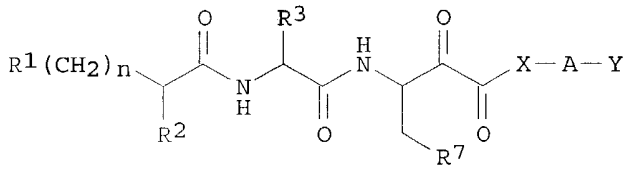


PAGE 1-B

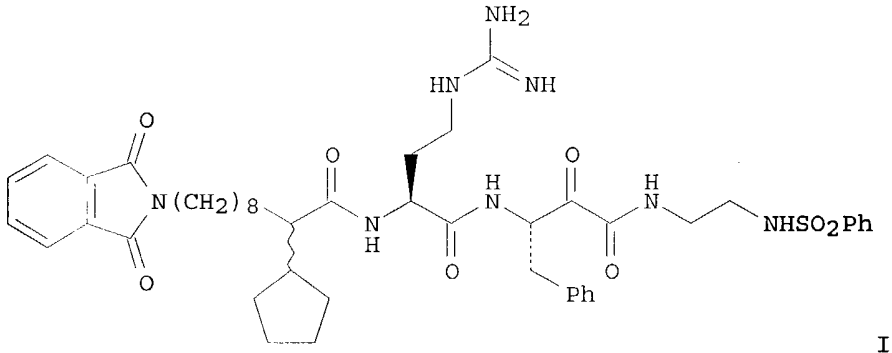


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I



II

AB This invention relates to α-ketoamides I [R1 = CN, CO2R8, phthalimido, NHSO2R8, NHJ; R2 = H, OH, C1-10 alkyl, C3-7 cycloalkyl; R3 = (CH2)mNHC(NH2):NR5, (CH2)3NHC(:NH)NHR6, (CH2)3NHC(:NH)NJ2, (CH2)mNH2, (CH2)mNHJ; R5 = NO2, CN, J; R6 = H, J, NO2, CN; R7 = Ph, C1-8 alkyl optionally substituted with ≥1 halo, aryl, or heteroaryl groups; R8 = H, C1-6 alkyl optionally substituted with ≥1 halo, aryl, or heteroaryl groups; X = bond, O; A = C1-8 alkylene optionally substituted with ≥1 halo, aryl, or heteroaryl groups; Y = NR13G; or A-Y forms 5-7-membered lactam ring; R9 = alkyl, aryl, heteroaryl optionally substituted with K; R10, R11 = H, any group R9; R13 = H, lower alkyl; G = H, blocking group, SO2R9, CONHR10, CSNHR10, CO2R9; J = blocking group; K = halo, CO2R10, R10O2C, R10OCONH, OH, CN, NO2, NR10R11, N:C(NR10R11), SR10, OR10, Ph, naphthyl, heteroaryl, C3-8 cycloalkyl; n = 5-10; m = 2-5; with the proviso that when X = bond and R3 = (CH2)3NHC(:NH)NH2 or (CH2)mNH2, then G = SO2R9] and pharmaceutically acceptable salts thereof, as inhibitors of multicatalytic protease (MCP), to compns. including such inhibitors, and to methods for the use of MCP inhibitors. The MCP inhibitors of the present invention are useful, for example, to retard loss of muscle mass incident to various physiol. states. Thus, ketoamide arginine peptide derivative II was prepared by assembly of building blocks (2RS,3S)-3-tert-butoxycarbonylamino-2-hydroxy-4-phenylbutyric acid, N-(phenylsulfonyl)ethylenediamine (preparation given), Boc-Arg(NO2)-OH, and (RS)-2-cyclopentyl-10-(N-phthalimidyl)decanoic acid, followed by oxidation with Dess-Martin periodinane reagent. I inhibited multicatalytic protease with IC50 = 2 nM.

AN 1999:249083 CAPLUS
DN 130:282368

TI Preparation of α-ketoamide arginine peptide derivatives as multicatalytic protease inhibitors

IN Chatterjee, Sankar; Mallamo, John P.
PA Cephalon Inc., USA

SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9917778	A1	19990415	WO 1998-US21053	19981007
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6096778	A	20000801	US 1998-167163	19981006
	CA 2303428	AA	19990415	CA 1998-2303428	19981007
	AU 9910685	A1	19990427	AU 1999-10685	19981007
	AU 751963	B2	20020905		
	EP 1027056	A1	20000816	EP 1998-953274	19981007
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	NZ 503308	A	20010223	NZ 1998-503308	19981007
	JP 2002516817	T2	20020611	JP 2000-514649	19981007
	US 6310057	B1	20011030	US 2000-519979	20000307
	MX 200003417	A	20001113	MX 2000-3417	20000407
PRAI	US 1997-61382P	P	19971007		
	US 1998-167163	A	19981006		
	WO 1998-US21053	W	19981007		

OS MARPAT 130:282368

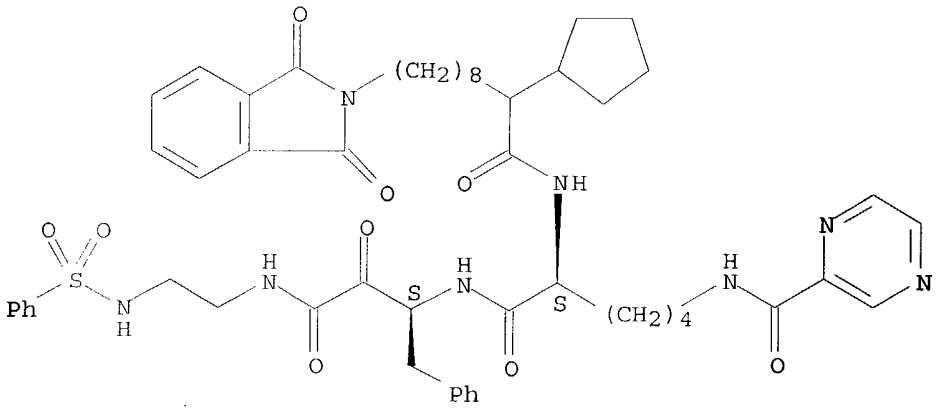
IT **222640-22-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-ketoamide arginine peptide derivs. as multicatalytic protease inhibitors)

RN 222640-22-4 CAPLUS

CN 2H-Isoindole-2-decanamide, α-cyclopentyl-N-[(1S)-1-[[[(1S)-2,3-dioxo-1-(phenylmethyl)-3-[[2-[(phenylsulfonyl)amino]ethyl]amino]propyl]amino]carbonyl]-5-[(pyrazinylcarbonyl)amino]pentyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AB The invention concerns ketobenzamides of formula R1X(R2)n-C6H3-CONHCH(R3)COCOR4 [(I) R1 = Ph, naphthyl, (substituted)(hetero)cycle; R2 = Cl, Br, F, NO2, NH2,NHR5, CO2H, (substituted)-alkyl, -alkenyl, -alkynyl, R5 = CO-alkyl, COPh, CO-C10H7, SO2-alkyl,CO-alkoxy, ureido, alkoxy; R3 = (substituted) alkyl; X = (substituted)(functionalized)chain from 0-10 atoms, or R2-substituted-C6H3; R4 = OH, (substituted)alkoxy, (substituted)NH2, heterocyclic ring], useful as calpain inhibitors. The invention further concerns their preparation The novel compds. are suitable for combating diseases. Thus, 3(S)-3-amino-2-hydroxy-4-phenylbutyric acid Me ester was condensed with 2-phenylbenzoic acid to give (S)-I [R1 = Ph; X = null; n = 0; R3 = CH2Ph;R4 = OMe(II)]. In in vitro calpain-inhibition tests, II had KI of <10μM.

AN 1998:402403 CAPLUS

DN 129:81964

TI Preparation and use of ketobenzamides as calpain inhibitors

IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg

PA BASF A.-G., Germany; Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg

SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

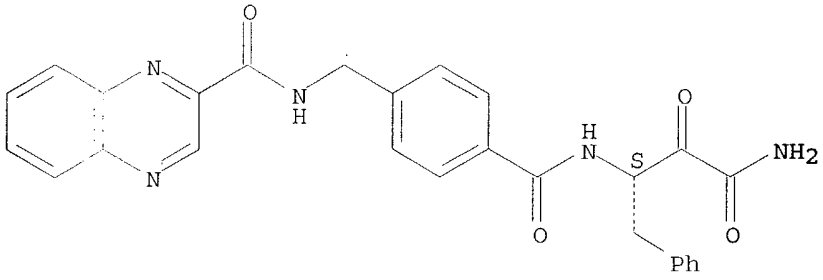
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9825883	A1	19980618	WO 1997-EP6655	19971128
	W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9857523	A1	19980703	AU 1998-57523	19971128
	AU 721620	B2	20000713		
	EP 944582	A1	19990929	EP 1997-953714	19971128
	EP 944582	B1	20030702		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	CN 1245486	A	20000223	CN 1997-181748	19971128
	NZ 335981	A	20000428	NZ 1997-335981	19971128
	BR 9713704	A	20000509	BR 1997-13704	19971128
	JP 2001506614	T2	20010522	JP 1998-526156	19971128
	RU 2190599	C2	20021010	RU 1999-115765	19971128
	SK 282680	B6	20021106	SK 1999-745	19971128
	AT 244216	E	20030715	AT 1997-953714	19971128
	HR 970680	B1	20020831	HR 1997-970680	19971210
	ZA 9711141	A	19990611	ZA 1997-11141	19971211
	TW 536530	B	20030611	TW 1997-86118865	19971211
	US 6103720	A	20000815	US 1999-319511	19990608
	NO 9902821	A	19990611	NO 1999-2821	19990610
	KR 2000057495	A	20000915	KR 1999-705172	19990610
	BG 63382	B1	20011231	BG 1999-103485	19990611
PRAI	DE 1996-19651316	A	19961211		
	WO 1997-EP6655	W	19971128		

OS MARPAT 129:81964

IT 209174-18-5P 209174-24-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and use of ketobenzamides as calpain inhibitors)

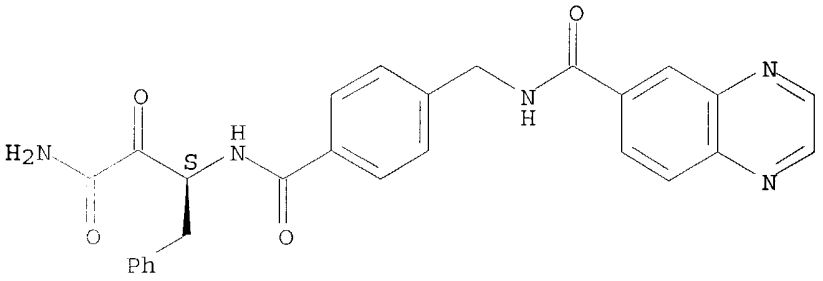
RN 209174-18-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[[[(1S)-3-amino-2,3-dioxo-1-(phenylmethyl)propyl]amino]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209174-24-3 CAPLUS
CN 6-Quinoxalinecarboxamide, N-[[4-[[[(1S)-3-amino-2,3-dioxo-1-(phenylmethyl)propyl]amino]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l5
L6 8 L5

=> d abs bib hitstr 1-8

L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
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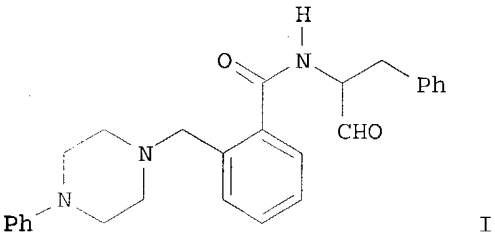


I

AB R1Z1[Z2(Z3R3)]CONHCHR4COR5 [R1 = H, alkyl, (hetero)aryl; R3 = (di)[(phenyl)alkyl]amino, pyrrolidino, piperidino, etc.; R4 = [(hetero)aryl]alkyl; R5 = H, CO2R11, COR; R = (un)substituted pyrrolidino, -piperidino, -piperazino; R11 = H, (phenyl)alkyl, etc.; Z1 = bond, alkylene, O, CO, etc.; Z2 = (un)substituted phenylene, -pyridinediyl, -imidazolediyl, etc.; Z3 = (CH2)1-3] were prepared as cysteine protease inhibitors (no data). Thus, 2-(ClH2C)C6H4CO2Me was aminated by 1-phenylpiperazine and the saponified product amidated by PhCH2CH(NH2)CH2OH to give, after oxidation, title compound I.
AN 1999:691092 CAPLUS
DN 131:299287
TI Preparation of N-(acylalkyl)benzamides as cysteine protease inhibitors
IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9954320	A1	19991028	WO 1999-EP2620	19990419
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2328720	AA	19991028	CA 1999-2328720	19990419
AU 9938187	A1	19991108	AU 1999-38187	19990419
BR 9909819	A	20001219	BR 1999-9819	19990419
EP 1080083	A1	20010307	EP 1999-920705	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
TR 200003071	T2	20010420	TR 2000-20000307119990419	
JP 2002512240	T2	20020423	JP 2000-544659	19990419
NO 2000005261	A	20001019	NO 2000-5261	20001019
BG 104885	A	20010531	BG 2000-104885	20001024

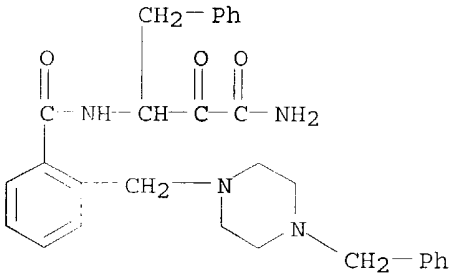
	HR 2000000788	A1	20010630	HR 2000-788	20001117
	ZA 2000006714	A	20011119	ZA 2000-6714	20001117
PRAI	DE 1998-19817460	A	19980420		
	WO 1999-EP2620	W	19990419		

OS MARPAT 131:299287

IT **247061-67-2P 247061-68-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(acylalkyl)benzamides as cysteine protease inhibitors)

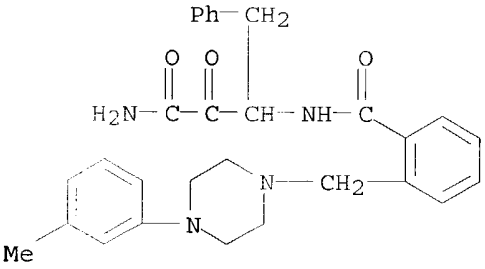
RN 247061-67-2 CAPLUS

CN Benzenebutanamide, α-oxo-β-[[2-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 247061-68-3 CAPLUS

CN Benzenebutanamide, β-[[2-[[4-(3-methylphenyl)-1-piperazinyl]methyl]benzoyl]amino]-α-oxo- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

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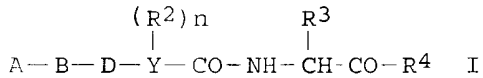
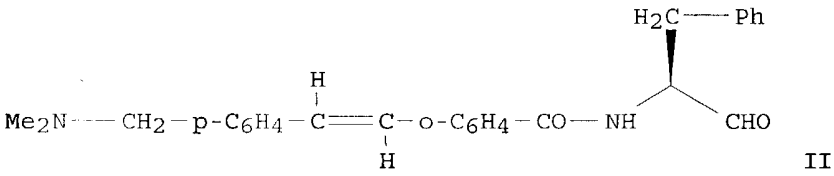


I



II

AB The invention relates to cysteine protease inhibitors of the general formula [(I); A = -(CH2)p-R1; R1 = pyrrolidine, morpholine, piperidine, -NR5R6, (N-substituted)piperazine; R5, R6 = independently H, alkyl, cyclohexyl, cyclopentyl, (CH2)nPh, where Ph may be R6-substituted; p = 1-2; B = (substituted) Ph, pyridyl, pyrimidyl or pyridazyl; D = bond, -(CH2)m-, -CH:CH-, -C.tplbond.C-; R2 = Cl, Br, F, alkyl, NHCO alkyl, NHSO2 alkyl, NO2, -O-alkyl or NH2; R3 = alkyl which can carry a (substituted) Ph ring, indolyl ring or cyclohexyl ring; Y = Ph, pyridine, pyrimidine or pyrazine; R4 = H, COOR9 or CO-Z, where Z = NR10R11; R9,R10,R11 = (independently) H, (unsubstituted) (unbranched) alkyl; n = 0-2 and m = 0-4]. Thus, Et 2-bromo-benzoate and dimethyl(4-vinylbenzyl)amine were reacted, de-esterified, and the free acid intermediate reacted with (S)-phenylalaninol to give an intermediate which was reduced to give aldehyde (II) in 88% yield. Title compds. showed good results as inhibitors of calpain I and II or cathepsin B in a variety of in vivo and in vitro tests (no data given).

AN 1999:691085 CAPLUS
DN 131:310835

TI Preparation of cysteine protease inhibitors for therapeutic use

IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9954310	A2	19991028	WO 1999-EP2633	19990420
	WO 9954310	A3	20000217		
	W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2328396	AA	19991028	CA 1999-2328396	19990420
	AU 9939276	A1	19991108	AU 1999-39276	19990420
	BR 9909774	A	20001219	BR 1999-9774	19990420
	EP 1073641	A2	20010207	EP 1999-922108	19990420
	EP 1073641	B1	20040414		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	TR 200003068	T2	20010321	TR 2000-20000306819990420	
	JP 2002512231	T2	20020423	JP 2000-544649	19990420
	US 6753327	B1	20040622	US 2000-673089	20001011

	BG 104873	A	20010731	BG 2000-104873	20001017
	NO 2000005263	A	20001019	NO 2000-5263	20001019
	HR 2000000787	A1	20010831	HR 2000-787	20001117
	ZA 2000006719	A	20020815	ZA 2000-6719	20001117
	US 2004082569	A1	20040429	US 2003-690400	20031020
PRAI	DE 1998-19818615	A	19980420		
	WO 1999-EP2633	W	19990420		
	US 2000-673089	A3	20001011		

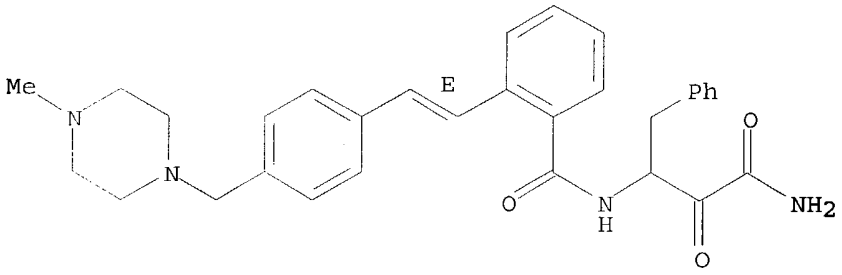
OS MARPAT 131:310835

IT **247218-37-7P 247218-41-3P 247218-42-4P**
247219-02-9P 247219-10-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of as cysteine protease inhibitors for therapeutic use)

RN 247218-37-7 CAPLUS

CN Benzenebutanamide, β-[[2-[(1E)-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]-α-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

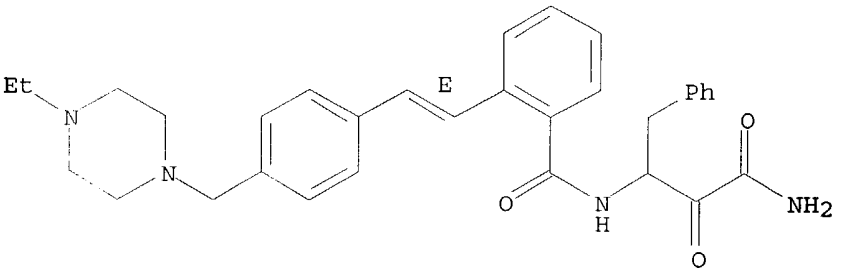


●2 HCl

RN 247218-41-3 CAPLUS

CN Benzenebutanamide, β-[[2-[(1E)-2-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]-α-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

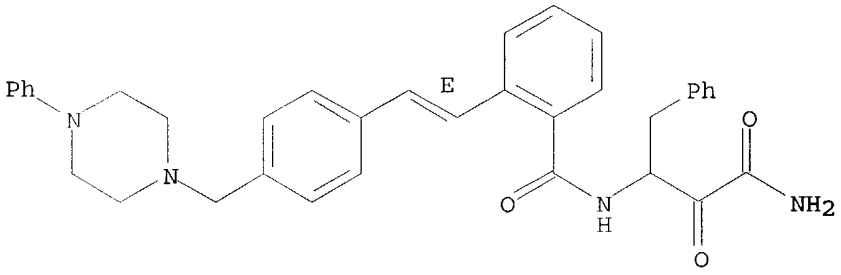


RN 247218-42-4 CAPLUS

CN Benzenebutanamide, α-oxo-β-[[2-[(1E)-2-[4-[(4-phenyl-1-

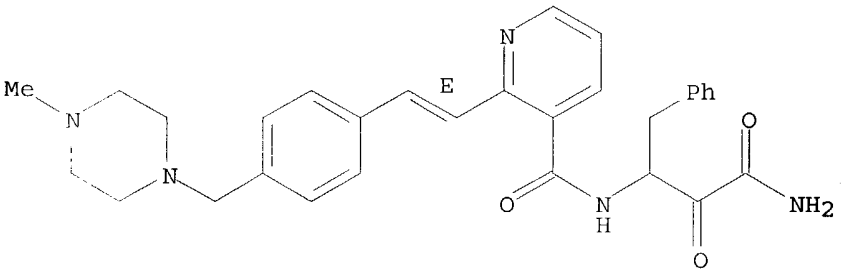
piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 247219-02-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[(1E)-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]ethenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



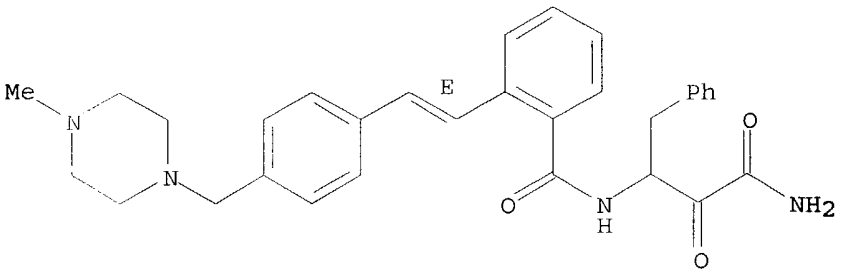
●2 HCl

RN 247219-10-9 CAPLUS
CN Benzenebutanamide, β-[[2-[(1E)-2-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]ethenyl]benzoyl]amino]-α-oxo-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 247219-09-6
CMF C31 H34 N4 O3

Double bond geometry as shown.



CM 2

CRN 75-75-2
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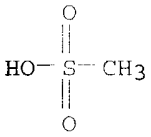


L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

AB A(CH2)xR1R2BCONHCHR3COR4 [A = (substituted) piperazinyl, homopiperazinyl, hexahydroazepinyl, piperidinyl, pyrrolidinyl; B = Ph, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl; R1, R2 = H, alkyl, alkoxy, OH, Cl, F, Br, iodo, CF3, NO2, NH2, cyano, CO2H, alkoxycarbonyl, alkylcarbonylamino, etc.; R3 = alkyl, methylthioalkyl, cyclohexylalkyl, cyclopentylalkyl, cycloheptylalkyl, phenylalkyl, pyridylalkyl, pyrimidinylalkyl, pyridazinylalkyl, indolylalkyl, etc.; R4 = H, COR8; R8 = OR9, NR9R10; R9 = H, alkyl; R10 = H, (substituted) alkyl], were prepared for treatment of neurodegenerative disease (no data). Thus, Me chloronicotinate, 4-pyridylpiperazine, and 18-crown-6 were heated at 100° in DMF to give 82% Me 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinate. The latter was saponified with LiOH in THF/H2O and the acid was stirred with Et3N and Na2SO4 in CH2Cl2/DMF; phenylalanino, HOBT, and EDC were added at 0° followed by stirring overnight at room temperature to give 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinic acid-N-(3-phenylpropan-1-ol-2-yl)amide. This was stirred with SO3.pyridine and Et3N in Me2SO to give 2-[4-(pyrid-4-yl)piperazin-1-yl]nicotinic acid-N-(3-phenylpropan-1-al-2-yl)amide.

AN 1999:691081 CAPLUS

DN 131:299460

TI Preparation of piperazinylnicotinamides and related compounds as calpain and cathepsin inhibitors.

IN Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika

PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2

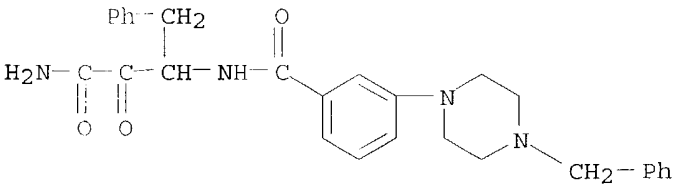
DT Patent

LA German

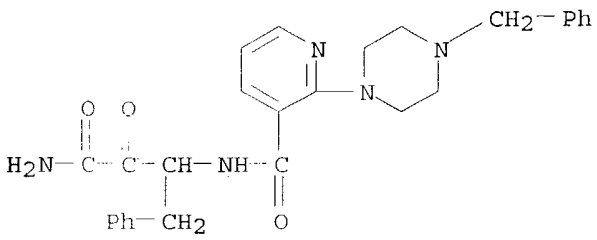
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9954305 A1 19991028 WO 1999-EP2632 19990420
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
CA 2328440 AA 19991028 CA 1999-2328440 19990420
AU 9938190 A1 19991108 AU 1999-38190 19990420
BR 9909773 A 20001219 BR 1999-9773 19990420
TR 200003004 T2 20010221 TR 2000-20000300419990420
EP 1082308 A1 20010314 EP 1999-920710 19990420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO
JP 2002512229 T2 20020423 JP 2000-544646 19990420
US 6562827 B1 20030513 US 2000-647681 20001003
NO 2000005237 A 20001018 NO 2000-5237 20001018
HR 2000000764 A1 20010630 HR 2000-764 20001110
BG 104961 A 20010531 BG 2000-104961 20001117
ZA 2000006712 A 20020923 ZA 2000-6712 20001117
PRAI DE 1998-19817462 A 19980420
WO 1999-EP2632 W 19990420
OS MARPAT 131:299460
IT **247117-08-4P 247117-15-3P 247117-16-4P**
247117-19-7P 247117-20-0P 247117-21-1P
247117-22-2P 247117-25-5P 247117-26-6P
247117-27-7P 247117-29-9P 247117-30-2P
247117-32-4P 247117-36-8P 247117-37-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylnicotinamides and related compds. as calpain and cathepsin inhibitors)
RN 247117-08-4 CAPLUS
CN Benzenebutanamide, α-oxo-β-[[3-[4-(phenylmethyl)-1-piperazinyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

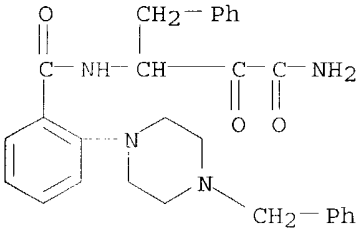


RN 247117-15-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

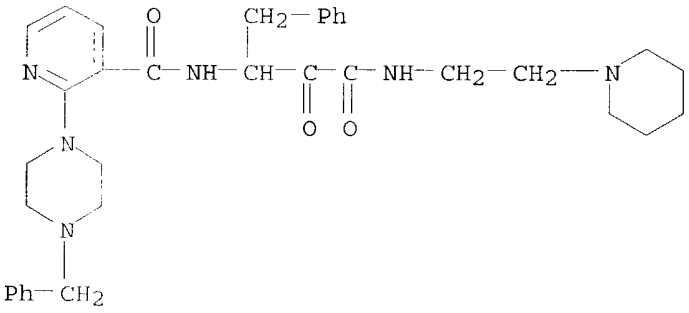


● 2 HCl

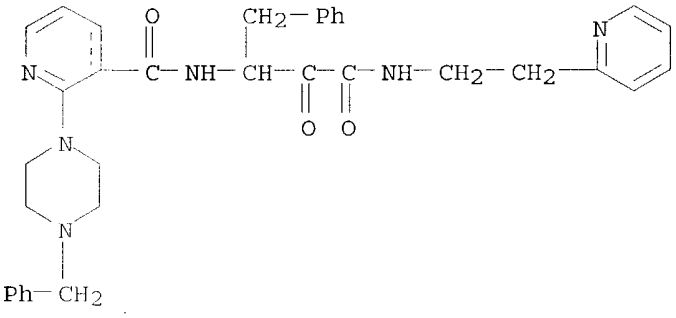
RN 247117-16-4 CAPLUS
CN Benzenebutanamide, α-oxo-β-[[2-[4-(phenylmethyl)-1-piperazinyl]benzoyl]amino]- (9CI) (CA INDEX NAME)



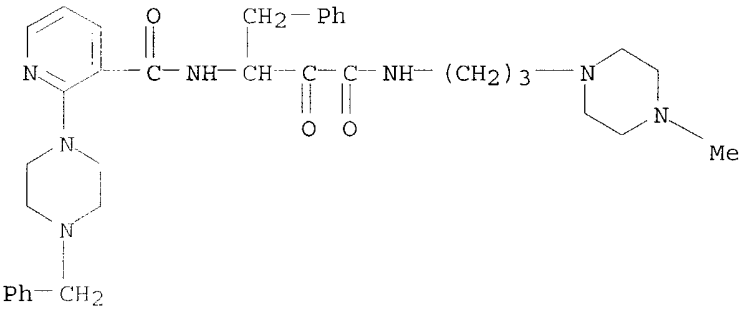
RN 247117-19-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dioxo-1-(phenylmethyl)-3-[[2-(1-piperidinyl)ethyl]amino]propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



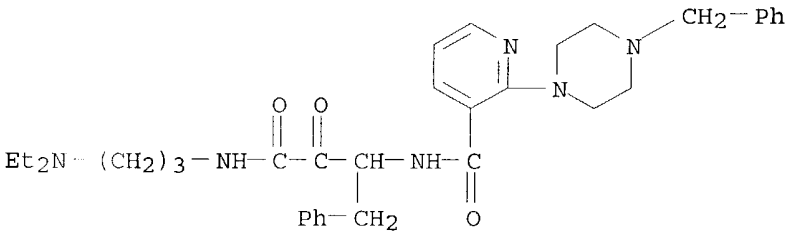
RN 247117-20-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dioxo-1-(phenylmethyl)-3-[[2-(2-pyridinyl)ethyl]amino]propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



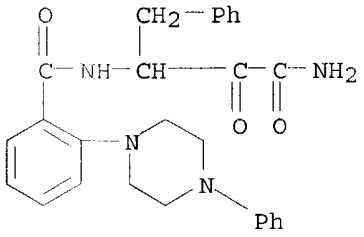
RN 247117-21-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[[3-(4-methyl-1-piperazinyl)propyl]amino]-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



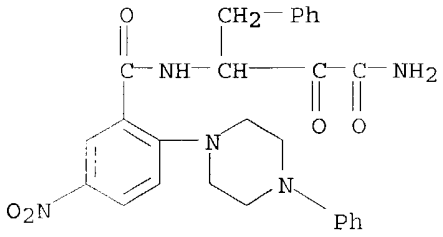
RN 247117-22-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[[3-(diethylamino)propyl]amino]-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



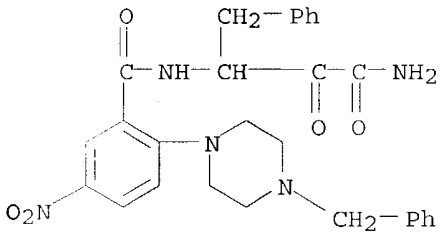
RN 247117-25-5 CAPLUS
CN Benzenebutanamide, α-oxo-β-[[2-(4-phenyl-1-piperazinyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



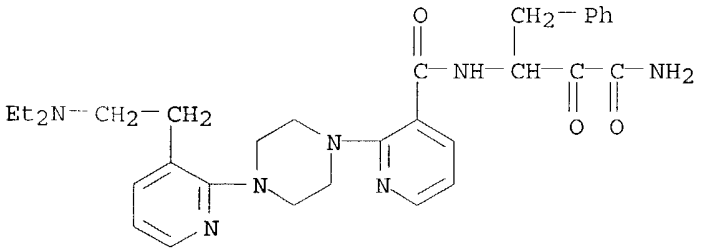
RN 247117-26-6 CAPLUS
CN Benzenebutanamide, β-[[5-nitro-2-(4-phenyl-1-piperazinyl)benzoyl]amino]-α-oxo- (9CI) (CA INDEX NAME)



RN 247117-27-7 CAPLUS
CN Benzenebutanamide, β-[[5-nitro-2-[4-(phenylmethyl)-1-piperazinyl]benzoyl]amino]-α-oxo- (9CI) (CA INDEX NAME)

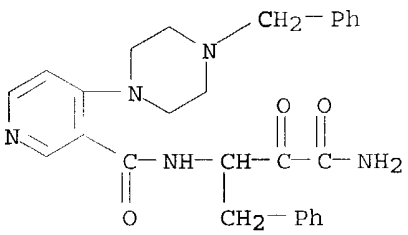


RN 247117-29-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-[3-[2-(diethylamino)ethyl]-2-pyridinyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

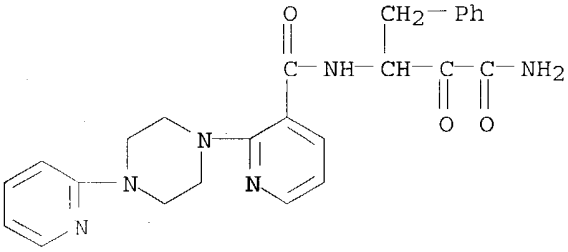


RN 247117-30-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-4-[4-

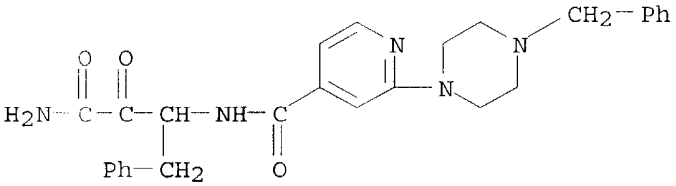
(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



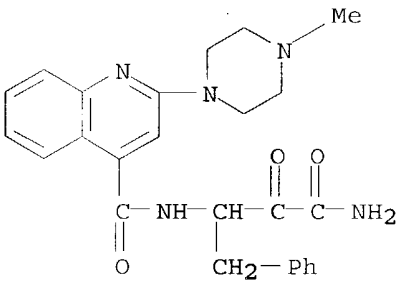
RN 247117-32-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-36-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 247117-37-9 CAPLUS
CN 4-Quinolinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



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RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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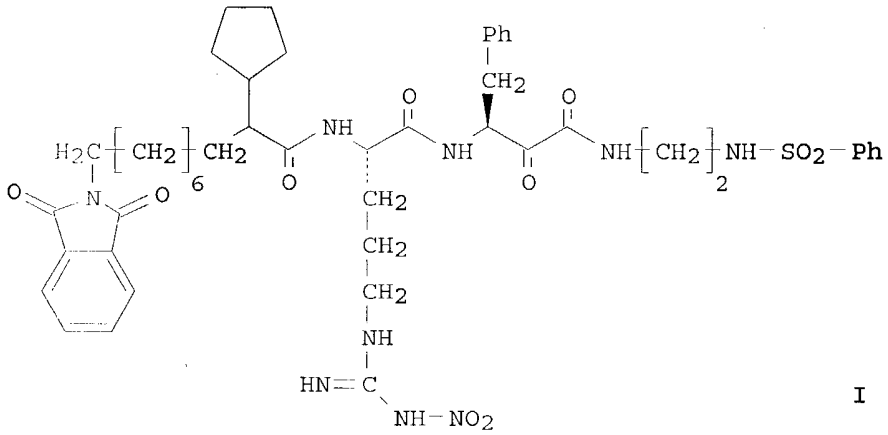

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